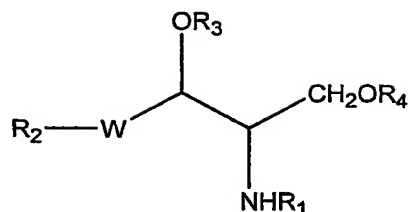


**CLAIMS:**

1. A compound of formula (I):



wherein

- 5  $\text{R}_1$  represents a hydrogen, a branched or linear alkyl, aryl, alkylamine, or a group  $-\text{C}(\text{O})\text{R}_5$ ;

$\text{R}_2$  and  $\text{R}_5$  represent, independently, a branched or linear  $\text{C}_{10}\text{-C}_{24}$  alkyl, alkenyl or polyenyl groups;

- 10  $\text{R}_3$  and  $\text{R}_4$  are independently a group  $-\text{C}(\text{O})\text{-NR}_6\text{R}_7$ ,  $\text{R}_6$  and  $\text{R}_7$  being the same or different for  $\text{R}_3$  and  $\text{R}_4$  and represent, independently, a hydrogen, or a saturated or unsaturated branched or linear polyalkylamine, wherein one or more amine units in said polyalkylamine may be a quaternary ammonium; or  $\text{R}_3$  is a hydrogen; or

- 15  $\text{R}_3$  and  $\text{R}_4$  form together with the oxygen atoms to which they are bound a heterocyclic ring comprising  $-\text{C}(\text{O})\text{-NR}_9\text{-}[\text{R}_8\text{-NR}_9]_m\text{-C}(\text{O})-$ ,  $\text{R}_8$  represents a saturated or unsaturated  $\text{C}_1\text{-C}_4$  alkyl and  $\text{R}_9$  represents a hydrogen or a polyalkylamine of the formula  $-\text{R}_8\text{-NR}_9]_n-$ , wherein said  $\text{R}_9$  or each alkylamine unit  $\text{R}_8\text{NR}_9$  may be the same or different in said polyalkylamine; and

$n$  and  $m$ , represent independently an integer from 1 to 10;

- 20  $\text{W}$  represents a group selected from  $-\text{CH}=\text{CH}-$ ,  $-\text{CH}_2\text{-CH}(\text{OH})-$  or  $-\text{CH}_2\text{-CH}_2-$ .

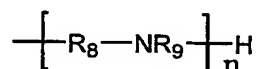
2. The compound of Claim 1, wherein  $\text{R}_1$  represents a  $-\text{C}(\text{O})\text{R}_5$  group,  $\text{R}_5$  being as defined.

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3. The compound of Claim 1 or 2, wherein said  $R_2$  and  $R_5$  represent, independently, a linear or branched  $C_{12}$ - $C_{18}$  alkyl or alkenyl groups.

4. The compound of any one of Claims 1 to 3, wherein W represents  $-\text{CH}=\text{CH}-$ .

5. The compound of Claim 1, wherein  $R_1$  represents a  $-\text{C}(\text{O})R_5$  group;  $R_5$  represents a  $C_{12}$ - $C_{18}$  linear or branched alkyl or alkenyl; W represents  $-\text{CH}=\text{CH}-$ ;  $R_2$  represents a  $C_{12}$ - $C_{18}$  linear or branched alkyl or alkenyl;  $R_3$  and  $R_4$  represent, independently, a group  $\text{C}(\text{O})-\text{NR}_6\text{R}_7$ , and  $R_3$  may also represent a hydrogen, wherein  $R_6$  and  $R_7$  represent, independently, a hydrogen or a polyalkylamine having the general formula (II):



wherein

$R_8$  represent a  $C_1$ - $C_4$  alkyl;

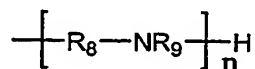
$R_9$  represents a hydrogen or a polyalkylamine branch of formula (II), said  $R_8$  and  $R_9$  may be the same or different for each alkylamine unit,  $-\text{R}_8\text{NR}_9-$ , in the polyalkylamine of formula (II); and

n represents an integer from 3 to 6.

6. The compound of Claim 5, wherein  $R_3$  is a hydrogen atom.

7. The compound of Claim 5, wherein both  $R_3$  and  $R_4$  represent the same or different polyalkylamine as defined in claim 1.

8. The compound of Claim 1, wherein  $R_1$  represents a  $-\text{C}(\text{O})R_5$  group;  $R_5$  represents a  $C_{12}$ - $C_{18}$  linear or branched alkyl or alkenyl; W represents  $-\text{CH}=\text{CH}-$ ;  $R_2$  represents a  $C_{12}$ - $C_{18}$  linear or branched alkyl or alkenyl;  $R_3$  and  $R_4$  represent independently a group  $\text{C}(\text{O})-\text{NR}_6\text{R}_7$ , wherein  $R_6$  and  $R_7$  represent, independently, an alkylamine or a polyalkylamine having the general formula (II):



wherein

$R_8$  represent a  $C_1$ - $C_4$  alkyl;

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$R_9$  represents a hydrogen or a polyalkylamine branch of formula (II), said  $R_8$  and  $R_9$  may be the same or different for each alkylamine unit,  $-R_8NR_9-$ , in the polyalkylamine of formula (II); and

$n$  represents an integer from 3 to 6.

- 5        9.        The compound of Claim 1, wherein  $R_1$  represents a  $C(O)R_5$  group;  $R_5$  represents a  $C_{12}$ - $C_{18}$  linear or branched alkyl or alkenyl;  $W$  represents  $-CH=CH-$ ;  $R_2$  represents a  $C_{12}$ - $C_{18}$  linear or branched alkyl or alkenyl;  $R_3$  and  $R_4$  form together with the oxygen atoms to which they are bonded a heterocyclic ring comprising  $-C(O)-[NH-R_8]_n-NH-C(O)-$ ,

10        wherein

$R_8$  represents a  $C_1$ - $C_4$  alkyl, wherein for each alkylamine unit  $-NH-R_8-$ , said  $R_8$  may be the same or different; and

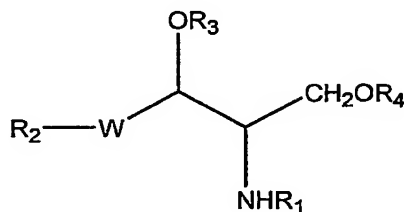
$n$  represents an integer from 3 to 6.

- 10        10.        The compound of any one of Claims 5 to 9, wherein said  $R_8$  is a  $C_3$ -  
15         $C_4$  alkyl.

11.        The compound of Claim 1, being N-palmitoyl D-erythro sphingosyl-1-carbamoyl spermine.

12.        The compound of Claim 1, having the chemical structure as depicted in Fig. 2C.

- 20        13.        A process for the preparation of a sphingoid-polyalkylamine conjugate of formula (I)



wherein

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$R_1$  represents a hydrogen, a branched or linear alkyl, aryl, alkylamine, or a group  $-C(O)R_5$ ;

$R_2$  and  $R_5$  represent, independently, a branched or linear  $C_{10}$ - $C_{24}$  alkyl, alkenyl or polyenyl groups;

5  $R_3$  and  $R_4$  are independently a group  $-C(O)-NR_6R_7$ ,  $R_6$  and  $R_7$  being the same or different for  $R_3$  and  $R_4$  and represent, independently, a hydrogen, or a saturated or unsaturated branched or linear polyalkylamine, wherein one or more amine units in said polyalkylamine may be a quaternary ammonium; or

$R_3$  represents a hydrogen; or

10  $R_3$  and  $R_4$  form together with the oxygen atoms to which they are bound a heterocyclic ring comprising  $-C(O)-NR_9-[R_8-NR_9]_m-C(O)-$ ,  $R_8$  represents a saturated or unsaturated  $C_1$ - $C_4$  alkyl and  $R_9$  represents a hydrogen or a polyalkylamine of the formula  $-[R_8-NR_9]_n-$ , wherein said  $R_9$  or each alkylamine unit  $R_8NR_9$  may be the same or different in said polyalkylamine; and

15  $n$  and  $m$  represent independently an integer from 1 to 10;

$W$  represents a group selected from  $-CH=CH-$ ,  $-CH_2-CH(OH)-$  or  $-CH_2-CH_2-$ ;

the process comprises:

- (a) providing a sphingoid compound of formula (I) wherein  $R_1$ ,  $R_2$  and  $W$  have  
20 the meaning as defined above and  $R_3$  and  $R_4$  represent, independently, a hydrogen atom or an oxo protecting group, wherein at least one of said  $R_3$  and  $R_4$  represent a hydrogen atom;
- (b) reacting said compound of step (a) with an activating agent, optionally in the presence of a catalyst, to obtain an activated  $R_3$  and/or  $R_4$  group;
- 25 (c) reacting said activated sphingoid compound with a polyalkylamine;
- (d) removing said protecting group thereby obtaining said sphingoid-polyalkylamine conjugate of formula (I) as defined above.

14. The process of Claim 13, wherein said sphingoid-polyalkylamine conjugate is as defined in any one of Claims 1 to 12.

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15. The process of Claim 13 or 14, wherein said protecting group is a primary amine protecting group selected from trifluoroacetamide, fmoc, carbobenzoxy (CBZ), dialkyl Phosphoramidates.

16. The process of any one of Claims 13 to 15, wherein said activating agent is selected from N,N'-disuccinimidylcarbonate, di- or tri-phosgene or an imidazole derivative.

17. The process of any one of Claims 13 to 16, wherein said activation is performed in the presence of a catalyst, the catalyst being selected from 4-dimethylamino pyridine (DMAP), tetrazole, dicyanoimidazole or diisopropylethylamine.

18. The process of any one of Claims 13 to 17, for obtaining a di-substituted sphingoid-polyalkylamine conjugate, wherein

in step (a) both R<sub>3</sub> and R<sub>4</sub> are hydrogen atoms, and said process comprises reacting the compound of formula (I) with at least two equivalents of polyalkylamine to obtain a disubstituted sphingoid-polyalkylamine conjugate, with identical polyalkylamine substituents.

19. The process of any one of Claims 13 to 17, for obtaining a di-substituted sphingoid-polyalkylamine conjugate, wherein

in step (a) at least one of R<sub>3</sub> or R<sub>4</sub> is protected with a protecting group, the process comprises reacting in step (c) the activated sphingoid compound with a first polyalkylamine; removing the protecting group of R<sub>3</sub> or R<sub>4</sub> to obtain an unprotected oxo group; reacting the unprotected compound with an activating agent to obtain an activated mono-substituted sphingoid-polyalkylamine conjugate; and reacting said activated mono-substituted sphingoid-polyalkylamine conjugate with a second polyalkylamine, thereby obtaining a di-substituted sphingoid-polyalkylamine conjugate, said first and second polyalkylamine may be the same or different.

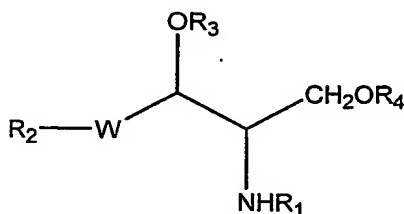
20. The process of any one of Claims 13 to 17, for obtaining a heterocyclic sphingoid-polyalkylamine conjugate, wherein

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in step (a) both  $R_3$  and  $R_4$  are hydrogen atoms, said sphingoid compound is reacted with at least two equivalents of an activating agent to obtain an activated sphingoid with both  $R_3$  and  $R_4$  activated and reacting said activated sphingoid compound with less than an equivalent of polyalkylamine, thereby obtaining a heterocyclic sphingoid-polyalkylamine conjugate.

21. The process of any one of Claims 13 to 20, for obtaining any one of the sphingoid-polyalkylamine conjugates depicted in Figs. 1A to 1D.

22. A pharmaceutical composition comprising a sphingoid-polyalkylamine conjugate of the formula (I):



wherein

$R_1$  represents a hydrogen, a branched or linear alkyl, aryl, alkylamine, or a group  $\text{-C(O)R}_5$ ;

$R_2$  and  $R_5$  represent, independently, a branched or linear  $\text{C}_{10}\text{-C}_{24}$  alkyl, alkenyl or polyenyl groups;

$R_3$  and  $R_4$  are independently a group  $\text{-C(O)-NR}_6\text{R}_7$ ,  $R_6$  and  $R_7$  being the same or different for  $R_3$  and  $R_4$  and represent, independently, a hydrogen, or a saturated or unsaturated branched or linear polyalkylamine, wherein one or more amine units in said polyalkylamine may be a quaternary ammonium; or

$R_3$  is a hydrogen; or

$R_3$  and  $R_4$  form together with the oxygen atoms to which they are bound a heterocyclic ring comprising  $\text{-C(O)-NR}_9\text{-[R}_8\text{-NR}_9\text{]}_m\text{-C(O)-}$ ,  $R_8$  represents a saturated or unsaturated  $\text{C}_1\text{-C}_4$  alkyl and  $R_9$  represents a hydrogen or a polyalkylamine of the formula  $\text{-[R}_8\text{-NR}_9\text{]}_n\text{-}$ , wherein said  $R_9$  or each alkylamine unit  $\text{R}_8\text{NR}_9$  may be the same or different in said polyalkylamine; an

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$n$  and  $m$  are independently an integer from 1 to 10;

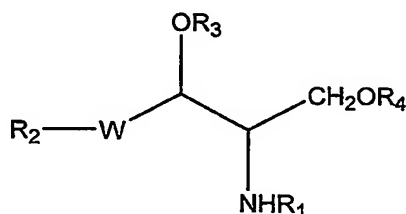
$W$  represents a group selected from  $-\text{CH}=\text{CH}-$ ,  $-\text{CH}_2-\text{CH}(\text{OH})-$  or  $-\text{CH}_2-\text{CH}_2-$ .

23. The composition of Claim 22, further comprising a pharmaceutically acceptable carrier.

24. The composition of Claim 22 or 23, wherein said sphingoid-polyalkylamine conjugate is as defined in any one of Claims 1 to 12.

25. The composition of any one of Claims 22 to 24, comprising a biologically active substance.

10 26. Use of a compound of formula (I):



wherein

$\text{R}_1$  represents a hydrogen, a branched or linear alkyl, aryl, alkylamine, or a group  $-\text{C}(\text{O})\text{R}_5$ ;

15  $\text{R}_2$  and  $\text{R}_5$  represent, independently, a branched or linear  $\text{C}_{10}-\text{C}_{24}$  alkyl, alkenyl or polyenyl groups;

$\text{R}_3$  and  $\text{R}_4$  are independently a group  $-\text{C}(\text{O})-\text{NR}_6\text{R}_7$ ,  $\text{R}_6$  and  $\text{R}_7$  being the same or different for  $\text{R}_3$  and  $\text{R}_4$  and represent, independently, a hydrogen, or a saturated or unsaturated branched or linear polyalkylamine, wherein one or more  
20 amine units in said polyalkylamine may be a quaternary ammonium; or

$\text{R}_3$  is a hydrogen; or

$\text{R}_3$  and  $\text{R}_4$  form together with the oxygen atoms to which they are bound a heterocyclic ring comprising  $-\text{C}(\text{O})-\text{NR}_9-[\text{R}_8-\text{NR}_9]_m-\text{C}(\text{O})-$ ,  $\text{R}_8$  represents a saturated or unsaturated  $\text{C}_1-\text{C}_4$  alkyl and  $\text{R}_9$  represents a hydrogen or a  
25 polyalkylamine of the formula  $-\text{R}_8-\text{NR}_9-$ , wherein said  $\text{R}_9$  or each alkylamine

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unit  $R_8NR_9$  may be the same or different in said polyalkylamine; and  $n$  and  $m$  are independently an integer from 1 to 10;

$W$  represents a group selected from  $-CH=CH-$ ,  $-CH_2-CH(OH)-$  or  $-CH_2-CH_2-$ ;

5 as a capturing agent.

27. The use of Claim 26, wherein said compound is as defined in any one of Claims 1 to 12.

28. The use of Claim 26, wherein said compound is prepared as defined in any one of Claims 13 to 21.

10 29. A kit comprising a compound according to any one of Claims 1 to 12, and instructions for use of said compound as a capturing agent.